PATENT

DOCKET NO.: PUAM-0258 **Application No.:** Not Yet Assigned

Preliminary Amendment - First Action Not Yet Received

This listing of claims will replace all prior versions, and listings, of claims in the application.

II. Listing of Claims:

Claims 1-41 (Cancelled)

- 42. (Original) A method for preparing a glycopeptide comprising the steps of:
- (a) selecting a protected glycopeptide of the formula A_1 - A_2 - A_3 - A_4 - A_5 - A_6 - A_7 , in which each dash represents a covalent bond; wherein the group A_1 comprises a modified or unmodified a-amino acid residue, alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl, heterocyclic-alkyl, heterocyclic-alkyl-carbonyl, alkylsulfonyl, (arylsulfonyl, guanidinyl, carbamoyl, or xanthyl; where each of the groups A_2 to A_7 comprises a modified or unmodified -amino acid residue, whereby (i) the group A_1 is linked to an amino group on the group A_2 , (ii) each of the groups A_2 , A_4 and A_6 bears an aromatic side chain, which aromatic side chains are cross-linked together by two or more covalent bonds, and (iii) the group A_7 bears a terminal carboxyl, ester, amide, or N-substituted amide group;

at least A₄ is linked to a glycosidic group which has a hexose residue linked to A₄; and said protected glycopeptide has no free amino or carboxyl groups and has a free primary hydroxyl group only at the 6-position of said hexose residue;

- (b) contacting said protected glycopeptide with a compound ArSO₂G in which Ar is an aryl group and G is a leaving group under conditions effective to allow reaction of said free primary hydroxyl group to form a glycopeptide sulfonate ester;
- (c) contacting said glycopeptide sulfonate ester with a nucleophile under conditions effective to allow displacement of a sulfonate group to produce a substituted glycopeptide.
- 43. (Original) The method of claim 42 in which said nucleophile is a thiol compound.
 - 44. (Original) The method of claim 42 in which said nucleophile is a halide.
- 45. (Original) The method of claim 44 in which said halide-substituted glycopeptide is contacted with a second nucleophile under conditions effective to allow displacement of said halide to produce a second substituted glycopeptide.

DOCKET NO.: PUAM-0258 PATENT

Application No.: Not Yet Assigned

Preliminary Amendment - First Action Not Yet Received

46. (Original) The method of claim 45 in which said second nucleophile is a thiol compound.

47. (Original) The method of claim 42 in which the nucleophile is an azide ion, and further comprising reduction of an azido group at the 6-position of the substituted glycopeptide to an amino group.

- 48. (Original) The method of claim 47 further comprising the step of introducing a substituent onto said amino group.
- 49. (Original) The method of claim 42 in which the nucleophile is an azide ion, and further comprising a step of contacting said substituted glycopeptide with a phosphine compound under conditions effective to allow formation of an iminophosphorane.

Claims 50 - 56 (Cancelled)

- 57. (Original) A method of preparing a glycopeptide comprising:
- (a) selecting: (i) an aglycone that is soluble in one or more organic solvents, is derived from a glycopeptide antibiotic; and which aglycone has exactly one free phenolic hydroxyl group; and (ii) a protected first glycosyl donor;
- (b) allowing a first non-enzymatic glycosylation reaction to proceed in an organic solvent such that a first glycosidic bond is formed, which links said free phenolic hydroxyl group to the anomeric carbon of the first glycosyl donor to provide a pseudoaglycone having a protected first glycosyl residue;
- (c) selectively removing one protecting group from the first glycosyl residue to provide a pseudoaglycone bearing exactly one free hydroxyl group on the first glycosyl residue;
 - (d) selecting a second protected glycosyl donor; and

DOCKET NO.: PUAM-0258 PATENT

Application No.: Not Yet Assigned

Preliminary Amendment - First Action Not Yet Received

(b) contacting the glycopeptide antibiotic with a Lewis acid, and allowing a degradation reaction to proceed such that a sugar residue is removed, producing a pseudoaglycone having exactly one free hydroxyl group on a sugar residue of the pseudoaglycone;

- (c) selecting a protected glycosyl donor; and
- (d) allowing a non-enzymatic glycosylation reaction to proceed in an organic solvent such that a glycosidic bond is formed which links the free hydroxyl group on the pseudoaglycone to the anomeric carbon of the glycosyl donor.
- 59. (Original) The method of claim 57 in which each of the first glycoside and the second glycosyl donor is a monosaccharide bearing an activated anomeric sulfoxide group.
- 60. (Original) The method of claim 58 in which the glycosyl donor is a monosaccharide bearing an activated anomeric sulfoxide group.
- 61. (Original) The method of claim 59 in which BF3 is present in the first non-enzymatic glycosylation reaction.
- 62. (Original) The method of claim 61 in which the first glycosyl donor is a glucose.
- 63. (Original) The method of claim 60 in which the glycopeptide antibiotic is vancomycin.
- 64. (Original) The method of claim 60 in which the glycopeptide antibiotic is vancomycin.
- 65. (Original) The method of claim 63 in which the Lewis acid is boron trifluoride.

DOCKET NO.: PUAM-0258 PATENT

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Preliminary Amendment - First Action Not Yet Received

67. (Original) The method of claim 66, further comprising removal of said protecting groups subsequent to step (d).

68. (Original) The method of claim 67 in which said protecting groups comprise: aloe groups substituted on amine nitrogens, an allyl ester group, allyl phenolic ether groups,

and acetates of aliphatic hydroxyls.

69. (Original) The method of claim 57 in which the aglycone is rendered soluble in organic solvents by substitution with protecting groups.

70. (Original) The method of claim 69, further comprising removal of said protecting groups and protecting groups on the glycosides following step (e).

71. (Original) The method of claim 70 in which said protecting groups comprise: a CBz group on the amine nitrogen, a benzyl ester group, methyl phenolic ether groups on residues 5 and 7, and acetates of aliphatic hydroxyls.

72. (Original) The method of claim 57 in which the glycopeptide is attached to a polymeric support.

73. (Original) The method of claim 58 in which the glycopeptide is attached to a polymeric support.

Claims 74 – 116 (Cancelled)